

=>

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L1           STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 13:39:15 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED -           9 TO ITERATE  
100.0% PROCESSED           9 ITERATIONS                   7 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE   \*\*COMPLETE\*\*  
                          BATCH   \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:           9 TO       360  
PROJECTED ANSWERS:               7 TO       298

L2           7 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 13:39:20 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED -   111 TO ITERATE  
100.0% PROCESSED       111 ITERATIONS                   85 ANSWERS  
SEARCH TIME: 00.00.01

L3           85 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	120.30	120.45

FILE 'CAPLUS' ENTERED AT 13:39:31 ON 13 NOV 1999  
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FILE COVERS 1967 - 13 Nov 1999 VOL 131 ISS 21  
FILE LAST UPDATED: 12 Nov 1999 (19991112/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l3

L4           398 L3

=> s 14 and psycho?

22186 PSYCHO?  
L5 86 L4 AND PSYCHO?

=> s 15 and microparticle

3570 MICROPARTICLE  
L6 0 L5 AND MICROPARTICLE

=> s 15 and pyrimid?

51568 PYRIMID?  
L7 4 L5 AND PYRIMID?

=> d 17 1-4 ibib ab hitstr

L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1997:77039 CAPLUS

DOCUMENT NUMBER: 126:89392

TITLE: 5H-Thiazolo[3,2-a]pyrimidin-5-one  
derivatives useful as antipsychotics and anxiolytics.

INVENTOR(S): Foguet, Rafael; Anglada, Lluís; Sacristan, Aurelio;  
Castello, Josep M.; Ortiz, Jose A.

PATENT ASSIGNEE(S): Ferrer Internacional, S.A., Spain; Foguet, Rafael;  
Anglada, Lluís; Sacristan, Aurelio; Castello, Josep  
M.; Ortiz, Jose A.

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9637498	A1	19961128	WO 1996-EP2254	19960524
W: AU, CA, JP, KR, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE				
ES 2097093	A1	19970316	ES 1995-1029	19950526
ES 2097093	B1	19980116		
CA 2195578	AA	19961128	CA 1996-2195578	19960524
AU 9658203	A1	19961211	AU 1996-58203	19960524
AU 698455	B2	19981029		
EP 773947	A1	19970521	EP 1996-919807	19960524
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL,				
PT, SE				
JP 10503782	T2	19980407	JP 1996-535401	19960524
NO 9700327	A	19970124	NO 1997-327	19970124
US 5798361	A	19980825	US 1997-765935	19970314
PRIORITY APPLN. INFO.:				ES 1995-1029 19950526
				WO 1996-EP2254 19960524
				WO 1996-SE2254 19960524

OTHER SOURCE(S): MARPAT 126:89392

AB The invention relates to new 5H-thiazolo[3,2-a]pyrimidin-5-one  
derivs. I [Ar = Ph optionally substituted by 1-2 of halo, Cl-4 alkyl,  
OCH<sub>2</sub>O, Cl-4 alkoxy, and CF<sub>3</sub>; R = fluorobenzisoxazolyl group Q or  
4-FC<sub>6</sub>H<sub>4</sub>CO] as well as their pharmaceutically acceptable addn. salts. The  
comps. are useful in the treatment of **psychosis**, schizophrenia,  
and anxiety. The invention also discloses methods and intermediates for  
their prepn., and pharmaceutical comps. For example, reaction of  
2-amino-4-(4-methylphenyl)thiazole or its analogs with POCl<sub>3</sub> and

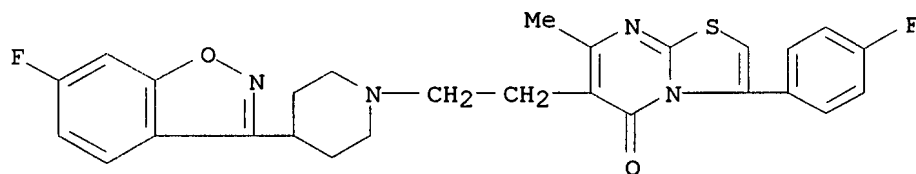
2-acetylbutyrolactone gave 2-chloroethyl thiazolopyrimidinone derivs., which reacted with appropriate piperidine derivs. give title compds. such as I [Ar = 4-MeC6H4, R = Q] (II). In receptor assays, I showed high IC50 ratios for D2/5-HT2, ranging 0.3-23.4, vs. 0.1 for haloperidol. Similarly, in assays for apomorphine-induced climbing and catalepsy (side effect), II had an ED50 ratio (catalepsy/climbing) of 5.8, vs. 1.6 for haloperidol, thus indicating a higher therapeutic margin for II.

IT 185683-04-9P, FI 8510 185683-06-1P, FI 8525  
 185683-08-3P 185683-11-8P, FI 8544 185683-13-0P  
 , FI 8543 185683-15-2P, FI 8545 185683-17-4P, FI 8546  
 185683-19-6P, FI 8568 185683-21-0P, FI 8570  
 185683-23-2P, FI 8569 185683-25-4P, FI 8567  
 185683-27-6P, FI 8571 185683-28-7P, FI 8572

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of thiazolopyrimidinone derivs. as antipsychotics and anxiolytics)

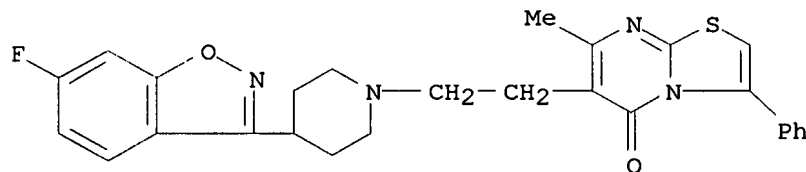
RN 185683-04-9 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-3-(4-fluorophenyl)-7-methyl- (9CI) (CA INDEX NAME)



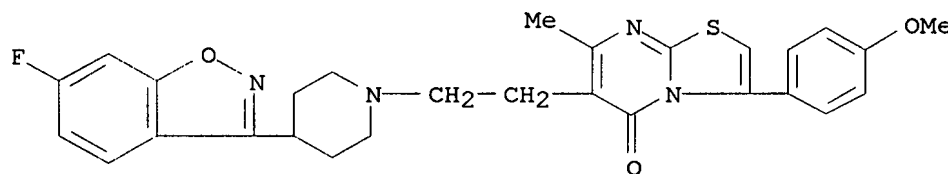
RN 185683-06-1 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-7-methyl-3-phenyl- (9CI) (CA INDEX NAME)



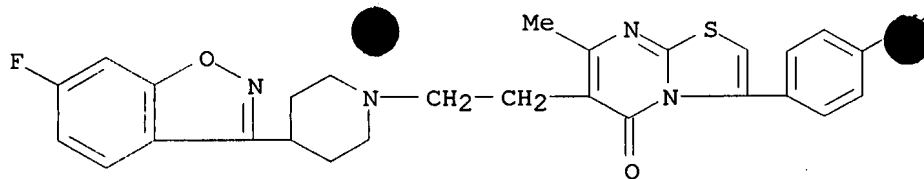
RN 185683-08-3 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-3-(4-methoxyphenyl)-7-methyl- (9CI) (CA INDEX NAME)



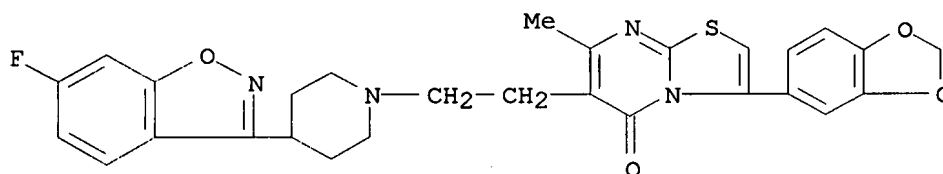
RN 185683-11-8 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-7-methyl-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



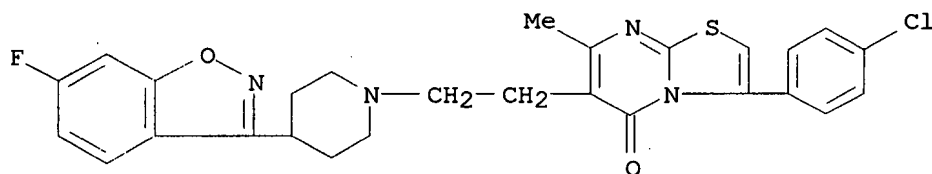
RN 185683-13-0 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-(1,3-benzodioxol-5-yl)-6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



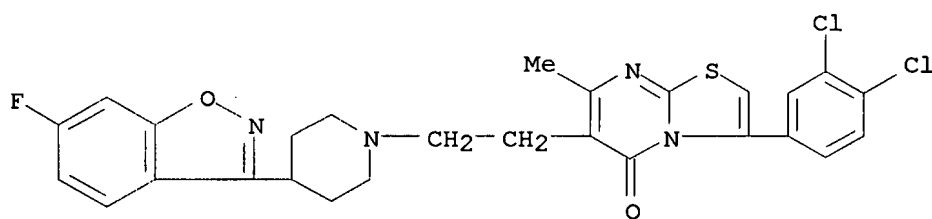
RN 185683-15-2 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-(4-chlorophenyl)-6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



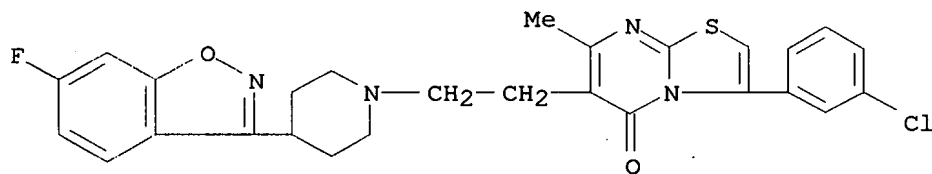
RN 185683-17-4 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-(3,4-dichlorophenyl)-6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

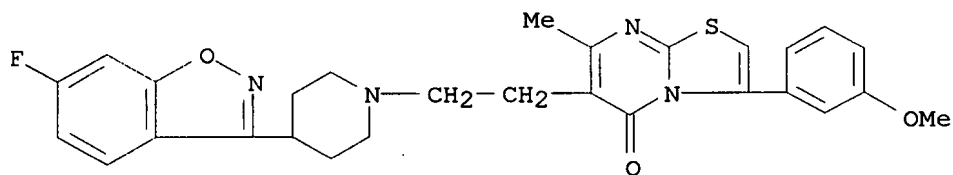


RN 185683-19-6 CAPLUS

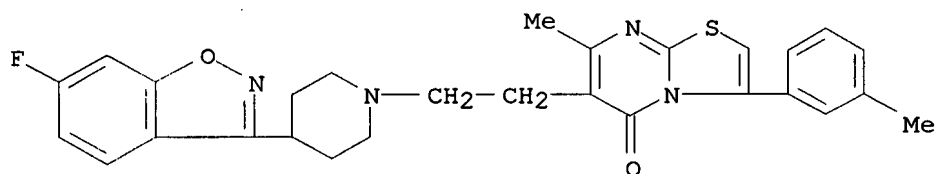
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-(3-chlorophenyl)-6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



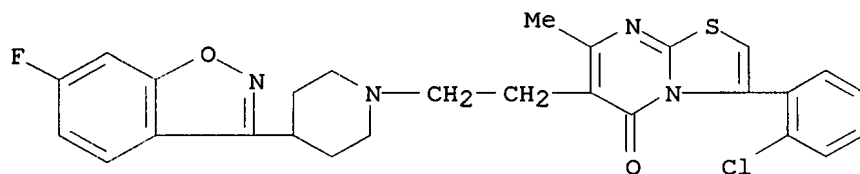
RN 185683-21-0 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-3-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



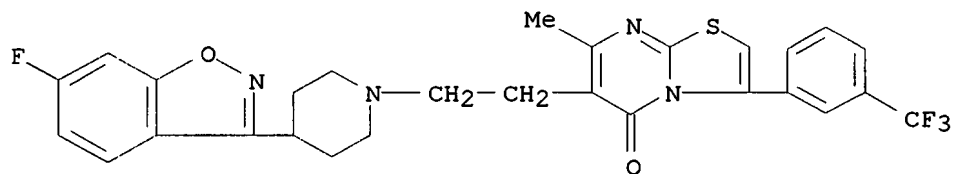
RN 185683-23-2 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-7-methyl-3-(3-methylphenyl)- (9CI) (CA INDEX NAME)



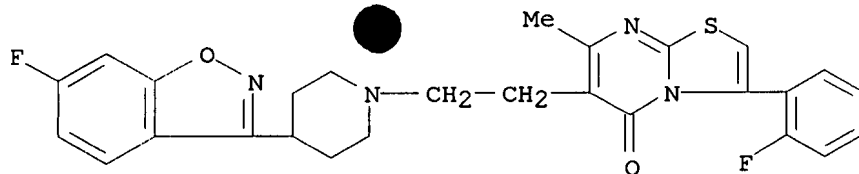
RN 185683-25-4 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-(2-chlorophenyl)-6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



RN 185683-27-6 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-7-methyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 185683-28-7 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-3-(2-fluorophenyl)-7-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1993:240939 CAPLUS

DOCUMENT NUMBER: 118:240939

TITLE: Pharmaceuticals containing antipsychotic  
3-piperidinyl-1,2-benzisoxazoles

INVENTOR(S): Janssen, Cornelus G. M.; Knaeps, Alfonsus G.; Kennis,  
Ludo E. J.; Vandenberg, Jan

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: U.S., 11 pp. Cont.-in-part of U.S. Ser. No. 267,857,  
abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5158952	A	19921027	US 1989-422847	19891017
CA 2000786	AA	19900507	CA 1989-2000786	19891016
CA 2000786	C	19990126		
AT 122349	E	19950515	AT 1989-202724	19891030
ES 2075036	T3	19951001	ES 1989-202724	19891030
DK 8905519	A	19900508	DK 1989-5519	19891106
DK 169923	B1	19950403		
NO 8904411	A	19900508	NO 1989-4411	19891106
NO 173015	B	19930705		
NO 173015	C	19931013		
AU 8944436	A1	19900510	AU 1989-44436	19891106
AU 614437	B2	19910829		
ZA 8908436	A	19910731	ZA 1989-8436	19891106
FI 92201	B	19940630	FI 1989-5261	19891106
FI 92201	C	19941010		
JP 02191276	A2	19900727	JP 1989-289842	19891107
JP 2758045	B2	19980525		
US 5254556	A	19931019	US 1992-932142	19920819

PRIORITY APPLN. INFO.:

US 1988-267857 19881107  
US 1989-422847 19891017

OTHER SOURCE(S): MARPAT 118:240939

AB The compds. have long-acting antipsychotic properties useful in the treatment of warm-blooded animals. Thus, 3-(2-(4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl)ethyl)-6,7,8,9 tetrahydro-7-methoxy-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one was reacted with iodotrimethylsilane in acetonitril and refluxed overnight, evapd. and the residue purified to obtain 3-(2-(4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl)ethyl)-6,7,8,9 tetrahydro-9-hydroxy-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (I). The antipsychotic activity of I was studied in dogs. A capsule contained I 20, Na lauryl sulfate 6, starch 56, lactose 56, silicon dioxide 0.8, Mg stearate 1.2 parts.

IT 130049-83-1P

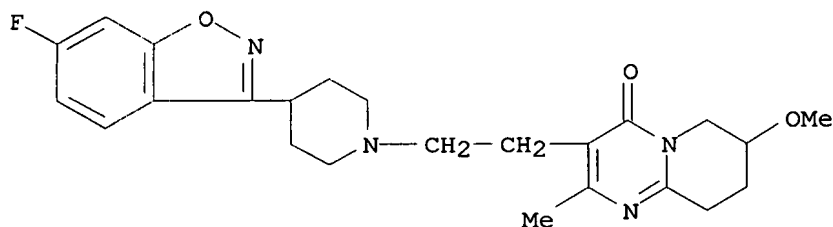
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of)

RN 130049-83-1 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one,

3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-

1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-7-methoxy-2-methyl- (9CI) (CA



IT 130049-85-3P 130049-87-5P 144598-75-4P

147663-01-2P 147663-02-3P 147663-03-4P

147663-04-5P 147663-05-6P

RL: PREP (Preparation)

(prepn. of, antipsychotic pharmaceutical compn. contg.)

RN 130049-85-3 CAPLUS

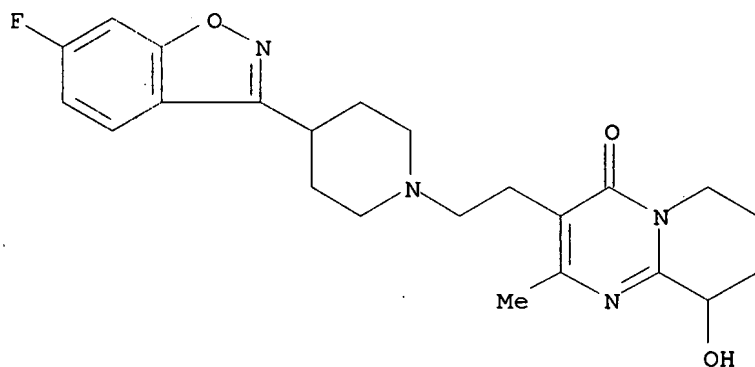
CN 4H-Pyrido[1,2-a]pyrimidin-4-one,

3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-

1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-9-hydroxy-2-methyl-, (+)- (9CI)

(CA INDEX NAME)

Rotation (+).

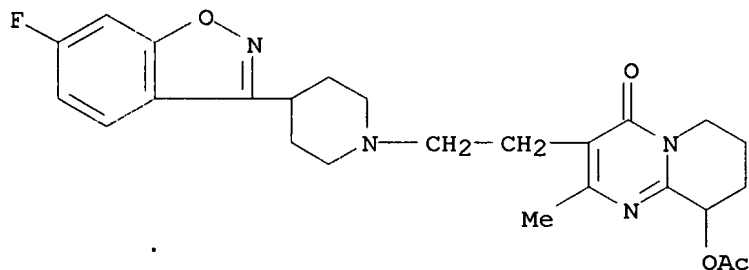


RN 130049-87-5 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 9-(acetyloxy)-3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-

(9CI)

(CA INDEX NAME)



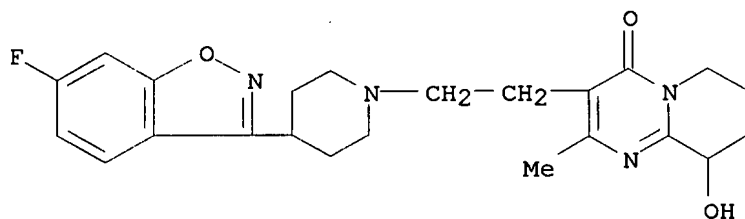
RN 144598-75-4 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one,

3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-

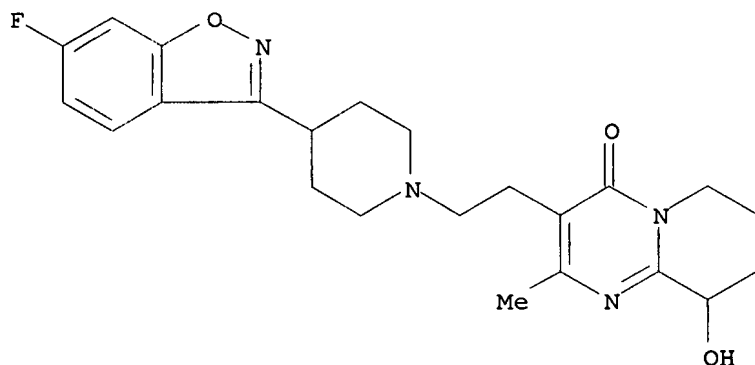
1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-9-hydroxy-2-methyl- (9CI) (CA

INDEX NAME)

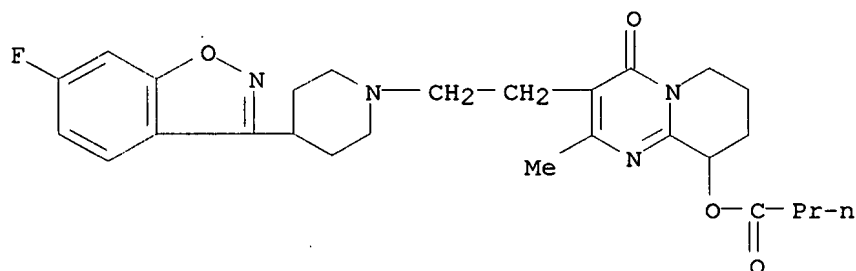


RN 147663-01-2 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one,  
 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-  
 1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-9-hydroxy-2-methyl-, (-)- (9CI)  
 (CA INDEX NAME)

Rotation (-).

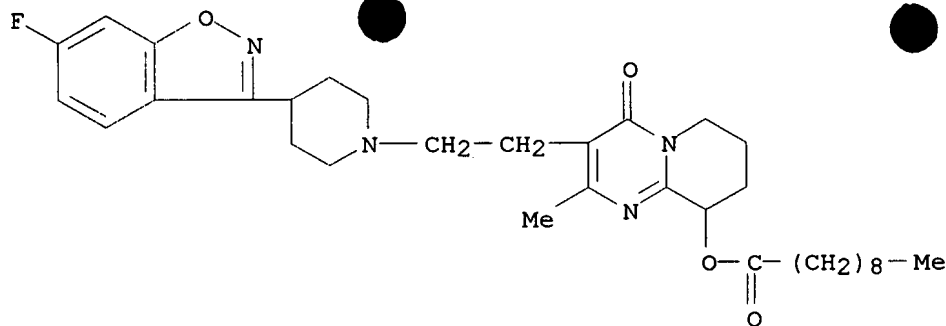


RN 147663-02-3 CAPLUS  
 CN Butanoic acid, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-  
 piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4-oxo-4H-pyrido[1,2-  
 a]pyrimidin-9-yl ester (9CI) (CA INDEX NAME)



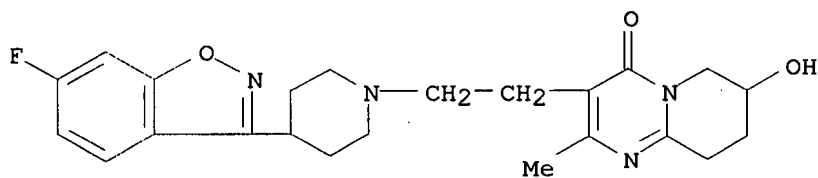
RN 147663-03-4 CAPLUS  
 CN Decanoic acid, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-  
 piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4-oxo-4H-pyrido[1,2-  
 a]pyrimidin-9-yl ester, dihydrochloride (9CI) (CA INDEX NAME)



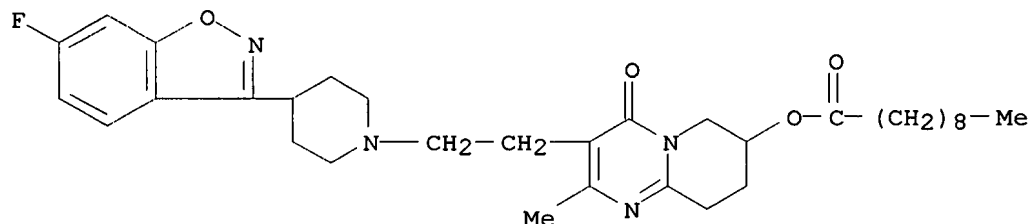


● 2 HCl

RN 147663-04-5 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one,  
 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-  
 1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-7-hydroxy-2-methyl- (9CI) (CA  
 INDEX NAME)



RN 147663-05-6 CAPLUS  
 CN Decanoic acid, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-  
 piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4-oxo-4H-pyrido[1,2-  
 a]pyrimidin-7-yl ester (9CI) (CA INDEX NAME)



L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 1999 ACS  
 ACCESSION NUMBER: 1990:591384 CAPLUS  
 DOCUMENT NUMBER: 113:191384  
 TITLE: Preparation of 3-[(4-oxopyrido[1,2-a]pyrimidin-3-yl)piperidin-4-yl]1,2-benzisoxazoles as antipsychotics  
 INVENTOR(S): Janssen, Cornelus Gerardus Maria; Knaeps, Alfonsus Guilielmus; Kennis, Ludo Edmond Josephine;  
 Vandenberg,  
 Jan  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: Eur. Pat. Appl., 18 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 368388	A2	19900516	EP 1989-202724	19891030
EP 368388	A3	19910717		
EP 368388	B1	19950510		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2000786	AA	19900507	CA 1989-2000786	19891016
CA 2000786	C	19990126		
AT 122349	E	19950515	AT 1989-202724	19891030
ES 2075036	T3	19951001	ES 1989-202724	19891030
DK 8905519	A	19900508	DK 1989-5519	19891106
DK 169923	B1	19950403		
NO 8904411	A	19900508	NO 1989-4411	19891106
NO 173015	B	19930705		
NO 173015	C	19931013		
AU 8944436	A1	19900510	AU 1989-44436	19891106
AU 614437	B2	19910829		
ZA 8908436	A	19910731	ZA 1989-8436	19891106
FI 92201	B	19940630	FI 1989-5261	19891106
FI 92201	C	19941010		
JP 02191276	A2	19900727	JP 1989-289842	19891107
JP 2758045	B2	19980525		

PRIORITY APPLN. INFO.:

US 1988-267857 19881107

OTHER SOURCE(S): MARPAT 113:191384

AB Title compds. I (R1 = C1-4 alkyl, H, halo; R2 = C1-4 alkyl; R3 = HO, R4CO2, R4 = C1-19 alkyl; R5 = C1-4 alkanediyl) are prepd. 3-(2-Chloroethyl)-6,7,8,9-tetrahydro-9-hydroxy-4H-pyrido[1,2-a]pyrimidin-4-one, 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole.HCl, Me2CHNHCHMe2 and MeOH were stirred overnight at 60.degree. to give I (R1

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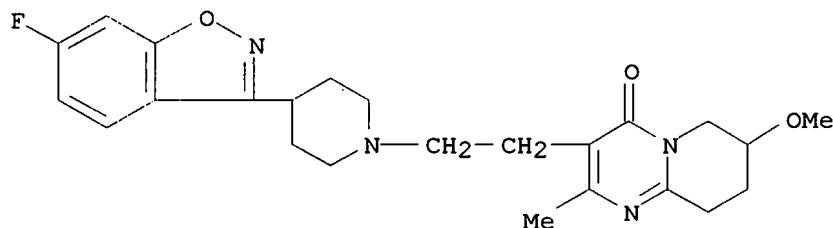
6-F; R2 = Me; R3 = 9-HO; R5 = Et) (II). Antipsychotic activity was demonstrated in the combined apomorphine, tryptamine and norepinephrine test in rats or the apomorphine test in dogs. The ED50's for II [apomorphine, tryptamine (convulsion, hyperemia), norepinephrine] were 0.25, 0.31, 0.002, 0.08, mg/kg, resp. Pharmaceutical formulations of I are presented.

IT 130049-83-1P 130049-84-2P 130049-85-3P  
130049-86-4P 130049-87-5P 130049-88-6P  
130049-89-7P 130049-90-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as antipsychotic)

RN 130049-83-1 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one,  
3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-  
1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-7-methoxy-2-methyl- (9CI) (CA  
INDEX NAME)

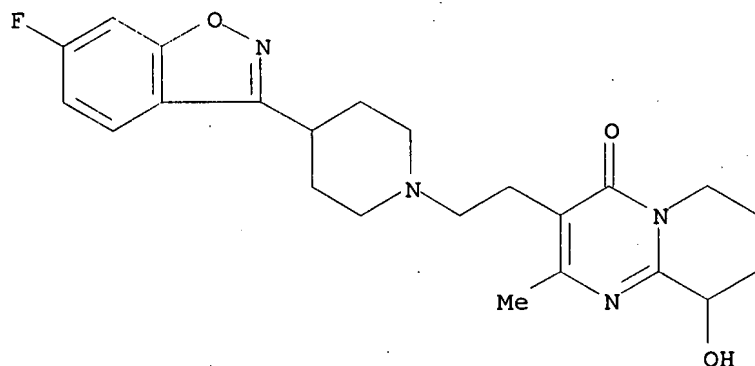


RN 130049-84-2 CAPLUS

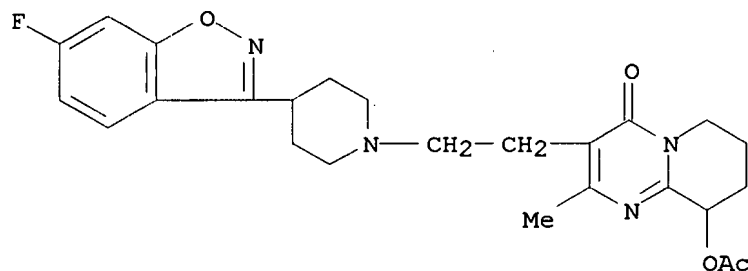
RN 130049-85-3 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one,  
 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-  
 1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-9-hydroxy-2-methyl-, (+)- (9CI)  
 (CA INDEX NAME)

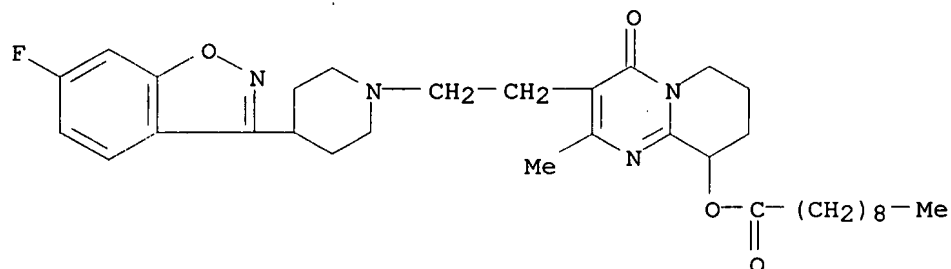
Rotation (+).



RN 130049-86-4 CAPLUS  
 RN 130049-87-5 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 9-(acetyloxy)-3-[2-[4-(6-fluoro-1,2-  
 benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-  
 (9CI)  
 (CA INDEX NAME)



RN 130049-88-6 CAPLUS  
 RN 130049-89-7 CAPLUS  
 CN Decanoic acid, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-  
 piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4-oxo-4H-pyrido[1,2-  
 a]pyrimidin-9-yl ester (9CI) (CA INDEX NAME)



RN 130049-90-0 CAPLUS

L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 1999 ACS  
 ACCESSION NUMBER: 1987:67292 CAPLUS

DOCUMENT NUMBER:

106:67292

TITLE:

Preparation of 1,2-benzisoxazole-3-yl and  
1,2-benzisothiazol-3-yl derivatives as

antipsychotics.

INVENTOR(S):

Kennis, Ludo Edmond Josephine; Vandenberg, Jan

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N. V., Belg.

SOURCE:

Eur. Pat. Appl., 33 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 196132	A2	19861001	EP 1986-200400	19860313
EP 196132	A3	19880120		
EP 196132	B1	19920812		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4804663	A	19890214	US 1986-826517	19860205
SU 1468419	A3	19890323	SU 1986-4027047	19860305
AT 79379	E	19920815	AT 1986-200400	19860313
CA 1256867	A1	19890704	CA 1986-504409	19860318
CN 86101906	A	19861001	CN 1986-101906	19860324
CN 1022566	B	19931027		
ES 553419	A1	19870516	ES 1986-553419	19860325
IL 78250	A1	19910512	IL 1986-78250	19860325
DK 8601439	A	19860928	DK 1986-1439	19860326
DK 168537	B1	19940418		
FI 8601328	A	19860928	FI 1986-1328	19860326
FI 81800	B	19900831		
FI 81800	C	19901210		
NO 8601230	A	19860929	NO 1986-1230	19860326
NO 162765	B	19891106		
NO 162765	C	19900214		
JP 61221186	A2	19861001	JP 1986-66108	19860326
JP 06013511	B4	19940223		
AU 8655297	A1	19861002	AU 1986-55297	19860326
AU 579232	B2	19881117		
HU 42461	A2	19870728	HU 1986-1278	19860326
HU 195793	B	19880728		
ZA 8602279	A	19871125	ZA 1986-2279	19860326
FI 8903001	A	19890619	FI 1989-3001	19890619
CZ 280767	B6	19960417	CZ 1991-3822	19911216

PRIORITY APPLN. INFO.:

US 1985-717067	19850327
US 1986-826517	19860205
EP 1986-200400	19860313
FI 1986-1328	19860326

AB The title compds. [I; R = H, C1-6 alkyl; R1,R2 = H, halo, OH, C1-6 alkyl, alkoxy; Q = II (R3 = H, halo, C1-6 alkyl, alkoxy, etc.; R4 = H, halo; Y1,Y2 = O, S), III (R5 = H, C1-6 alkyl; A = alkylene, vinylene, etc.; Z = S, CH2, vinylene, etc.); X = O, S; n = 1-4], effective antipsychotic agents, were prepd. and incorporated into various pharmaceutical formulations. Heating a mixt. of pyrimidine salt IV.HCl 5.3, benzisoxazole V 4.4, Na2CO3 8, and KI 0.1 part in DMF at 85-90.degree. gave 46% I [R = R1 = H, R2 = 6-F, Q = III [R5 = Me, AZ = (CH2)4], X = O,

n

= 2]. In a selected test with rats, I showed ED50 of 0.02-0.08 .mu.g/kg s.c. against apomorphine-induced phenomena. A formulation contg. I 20,

Na

lauryl sulfate 6, starch 56, lactose 56, colloidal SiO2 0.8, and Mg stearate 1.2 g was made into 1000 hardened gelating capsules.

IT

106266-06-2P 106266-07-3P 106266-08-4P  
106266-09-5P 106266-11-9P 106266-13-1P  
106266-14-2P 106266-15-3P 106290-22-6P

106290-23-7P 108855-17-0P 108855-18-1P

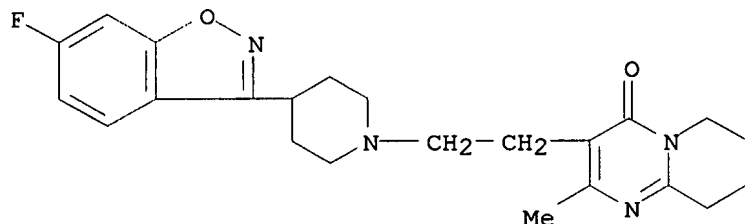
RL: SPN (Synthetic Preparation); PREP (Preparation)  
(prepn. of, as antipsychotic agent)

RN 106266-06-2 CAPLUS

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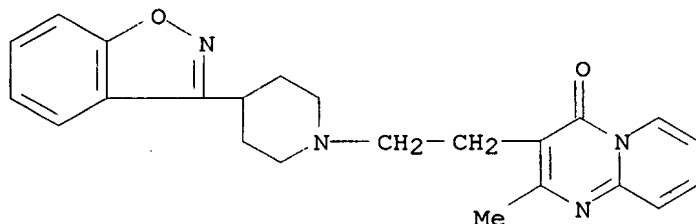
3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-

1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



RN 106266-07-3 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

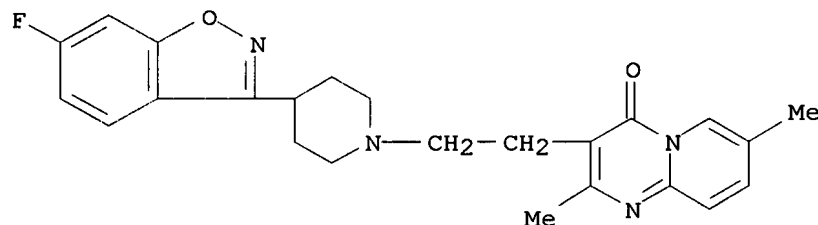


RN 106266-08-4 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one,

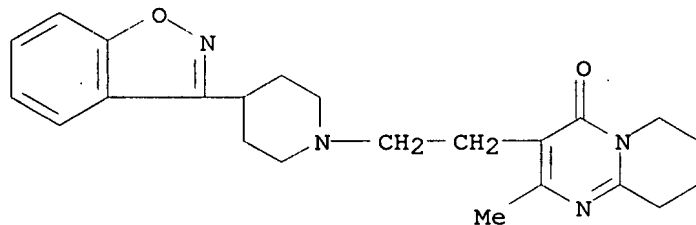
3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-

1-piperidinyl]ethyl]-2,7-dimethyl- (9CI) (CA INDEX NAME)

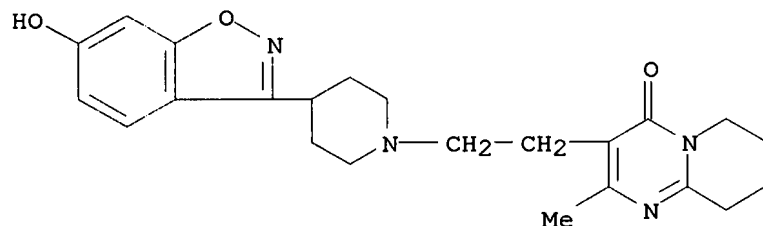


RN 106266-09-5 CAPLUS

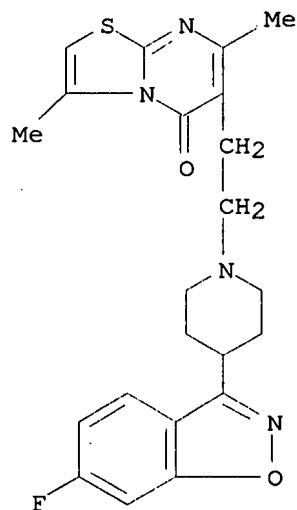
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



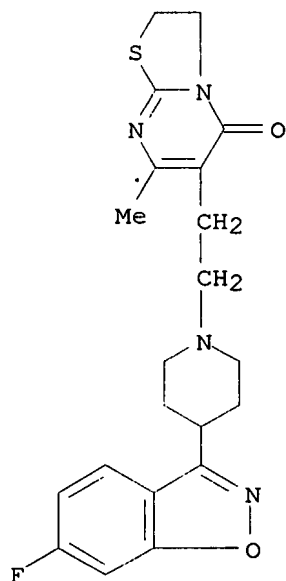
RN 106266-11-9 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one,  
 6,7,8,9-tetrahydro-3-[2-[4-(6-hydroxy-1,2-  
 benzisoxazol-3-yl)-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 106266-13-1 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-  
 yl)-1-piperidinyl]ethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)

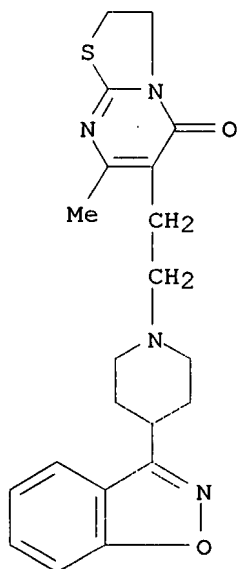


RN 106266-14-2 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-  
 yl)-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl- (9CI) (CA INDEX NAME)



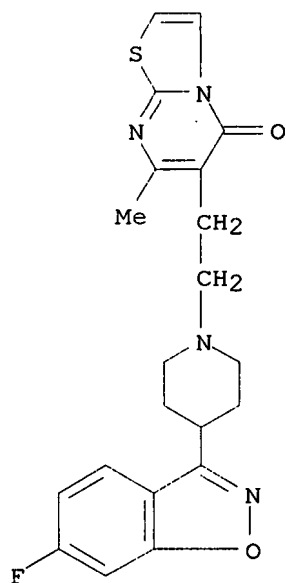
RN 106266-15-3 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl- (9CI) (CA INDEX NAME)

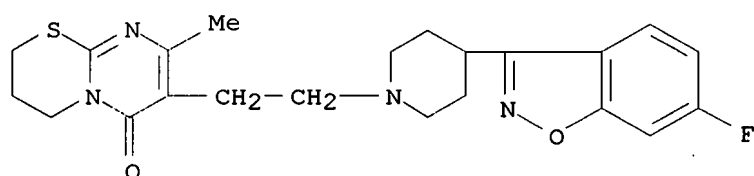


RN 106290-22-6 CAPLUS

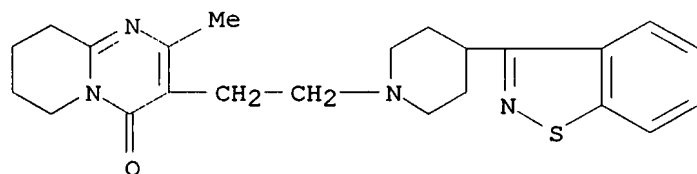
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



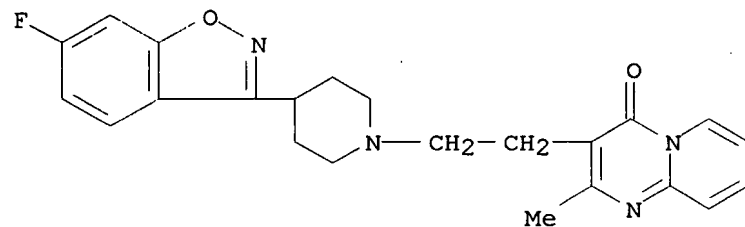
RN 106290-23-7 CAPLUS  
 CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 7-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-3,4-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 108855-17-0 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



RN 108855-18-1 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)





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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	22.29	142.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.14	-2.14

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FILE CONTENT: 1988-PRESENT (VOL 108 ISS 12-VOL 131 ISS 18 (19991029/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	5959136	28 SEP 1999
DE	19920553	23 SEP 1999
EP	947577	06 OCT 1999
JP	11256080	21 SEP 1999
WO	9950276	07 OCT 1999

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=> s 11

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SEARCH TIME: 00.00.05

FULL FILE PROJECTIONS:	ONLINE	**COMPLETE**
	BATCH	**COMPLETE**
PROJECTED ITERATIONS:	8 TO	330
PROJECTED ANSWERS:	0 TO	0

L8 0 SEA SSS SAM L1

=> s 11 full

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100.0% PROCESSED 223 ITERATIONS 9 ANSWERS  
SEARCH TIME: 00.00.12

L9 9 SEA SSS FUL L1

=> d 19 1-9 bib ab

L9 ANSWER 1 OF 9 MARPAT COPYRIGHT 1999 ACS  
AN 130:357192 MARPAT  
TI Aqueous suspensions of submicron 9-hydroxyrisperidone fatty acid esters  
IN Francois, Marc Karel Jozef; Dries, Willy Maria Albert Carlo; Basstanie,  
Esther Dina Guido

PA Janssen Pharmaceutica N.V., Belg.  
 SO PCT Int. Appl., 1 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9925354	A2	19990527	WO 1998-EP7321	19981110
	WO 9925354	A3	19990819		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	AU 9920491	A1	19990607	AU 1999-20491	19981110
PRAI	EP 1997-203568		19971117		
	WO 1998-EP7321		19981110		

AB An aq. suspension suitable as a depot injection for i.m. or s.c. administration of a 9-hydroxy-risperidone fatty acid ester or a salt, or a

stereoisomer or a stereoisomeric mixt. thereof in submicron form is described. The depot injection is useful in the treatment of psychosis, schizophrenia, schizo-affective disorders, non-schizophrenic psychoses, behavioral disturbances assocd. with neurodegenerative disorders, e.g. in dementia, behavioral disturbances in mental retardation and autism, Tourette's syndrome, bipolar mania, depression, and anxiety. A formulation was prepd. contg. 9-hydroxyrisperidone palmitate 7.02, polysorbate 20 1.1, Na CM-cellulose (a suspending agent) 1.0, benzyl alc. (a preservative) 1.5, Na2HPO4 0.6, and water up to 100%, resp.

L9 ANSWER 2 OF 9 MARPAT COPYRIGHT 1999 ACS

AN 126:89392 MARPAT

TI 5H-Thiazolo[3,2-a]pyrimidin-5-one derivatives useful as antipsychotics and

anxiolytics.

IN Foguet, Rafael; Anglada, Lluís; Sacristan, Aurelio; Castello, Josep M.; Ortiz, Jose A.

PA Ferrer Internacional, S.A., Spain; Foguet, Rafael; Anglada, Lluís; Sacristan, Aurelio; Castello, Josep M.; Ortiz, Jose A.

SO PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9637498	A1	19961128	WO 1996-EP2254	19960524

W: AU, CA, JP, KR, NO, NZ, US

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,

SE

	ES 2097093	A1	19970316	ES 1995-1029	19950526
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	ES 2097093	B1	19980116		
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	CA 2195578	AA	19961128	CA 1996-2195578	19960524
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	AU 9658203	A1	19961211	AU 1996-58203	19960524
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	AU 698455	B2	19981029		
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	EP 773947	A1	19970521	EP 1996-919807	19960524
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R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

	JP 10503782	T2	19980407	JP 1996-535401	19960524
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	NO 9700327	A	19970124	NO 1997-327	19970124
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	US 5798361	A	19980825	US 1997-765935	19970314
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PRAI ES 1995-1029 19950526  
WO 1996-EP2254 19960524  
WO 1996-SE2254 19960524

AB The invention relates to new 5H-thiazolo[3,2-a]pyrimidin-5-one derivs. I  
[Ar = Ph optionally substituted by 1-2 of halo, C1-4 alkyl, OCH2O, C1-4  
alkoxy, and CF3; R = fluorobenzisoxazolyl group Q or 4-FC6H4CO] as well  
as

their pharmaceutically acceptable addn. salts. The compds. are useful in  
the treatment of psychosis, schizophrenia, and anxiety. The invention  
also discloses methods and intermediates for their prepn., and  
pharmaceutical compns. For example, reaction of 2-amino-4-(4-  
methylphenyl)thiazole or its analogs with POCl3 and 2-acetylbutyrolactone  
gave 2-chloroethyl thiazolopyrimidinone derivs., which reacted with  
appropriate piperidine derivs. to give title compds. such as I [Ar =  
4-MeC6H4, R = Q] (II). In receptor assays, I showed high IC50 ratios for  
D2/5-HT2, ranging 0.3-23.4, vs. 0.1 for haloperidol. Similarly, in  
assays

for apomorphine-induced climbing and catalepsy (side effect), II had an  
ED50 ratio (catalepsy/climbing) of 5.8, vs. 1.6 for haloperidol, thus  
indicating a higher therapeutic margin for II.

L9 ANSWER 3 OF 9 MARPAT COPYRIGHT 1999 ACS

AN 123:340172 MARPAT

TI Preparation of [(benzisoxazolylpiperidinyl)alkyl]pyrido[1,2-  
a]pyrimidinones as neurotransmitter antagonists useful as antipsychotics.

IN Vandenberg, Jan; Kennis, Ludo Edmond Josephine

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9514691	A1	19950601	WO 1994-EP3804	19941116
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2175372	AA	19950601	CA 1994-2175372	19941116
	AU 9510654	A1	19950613	AU 1995-10654	19941116
	AU 687940	B2	19980305		
	EP 730594	A1	19960911	EP 1995-901389	19941116
	EP 730594	B1	19990908		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 09505574	T2	19970603	JP 1994-514808	19941116
	AT 184281	E	19990915	AT 1995-901389	19941116
	US 5688799	A	19971118	US 1996-637754	19960303
	FI 9602155	A	19960522	FI 1996-2155	19960522

PRAI EP 1993-203270 19931123

WO 1994-EP3804 19941116

AB Title compds. (I; Y = C1-4 alkanediyl; X = bicyclic heterocycle Q1, Q2;

R1

= C2-6 alkenyl, C2-6 alkynyl, C3-6 cycloalkyl optionally substituted with  
C1-4 alkyl, C1-9 alkyl optionally substituted with C3-6 cycloalkyl, halo,  
C1-6 alkyloxy, cyano; R2 = H, C1-4 alkyl), were prepd. Thus,  
6,7,8,9-tetrahydro-9-methoxy-2-methyl-3-[2-(methylsulfonyloxy)ethyl]-4H-  
pyrido[1,2-a]pyrimidin-4-one (prepn. given) was heated with  
6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole hydrochloride and Na2CO3 in  
DMF at 80-90.degree. for 6 h to give 36% title compd. (II). In the  
combined apomorphine, tryptamine (anticonvulsive), and norepinephrine

test

in rats, II showed ED50 = 0.02, 0.02, and 0.31 mg/kg, resp.

L9 ANSWER 4 OF 9 MARPAT COPYRIGHT 1999 ACS  
 AN 118:240939 MARPAT  
 TI Pharmaceuticals containing antipsychotic 3-piperidinyl-1,2-benzisoxazoles  
 IN Janssen, Cornelus G. M.; Knaeps, Alfonsus G.; Kennis, Ludo E. J.;  
 Vandenberg, Jan  
 PA Janssen Pharmaceutica N.V., Belg.  
 SO U.S., 11 pp. Cont.-in-part of U.S. Ser. No. 267,857, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE,	APPLICATION NO.	DATE
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PI	US 5158952	A	19921027	US 1989-422847	19891017
	CA 2000786	AA	19900507	CA 1989-2000786	19891016
	CA 2000786	C	19990126		
	AT 122349	E	19950515	AT 1989-202724	19891030
	ES 2075036	T3	19951001	ES 1989-202724	19891030
	DK 8905519	A	19900508	DK 1989-5519	19891106
	DK 169923	B1	19950403		
	NO 8904411	A	19900508	NO 1989-4411	19891106
	NO 173015	B	19930705		
	NO 173015	C	19931013		
	AU 8944436	A1	19900510	AU 1989-44436	19891106
	AU 614437	B2	19910829		
	ZA 8908436	A	19910731	ZA 1989-8436	19891106
	FI 92201	B	19940630	FI 1989-5261	19891106
	FI 92201	C	19941010		
	JP 02191276	A2	19900727	JP 1989-289842	19891107
	JP 2758045	B2	19980525		
	US 5254556	A	19931019	US 1992-932142	19920819
PRAI	US 1988-267857		19881107		
	US 1989-422847		19891017		

AB The compds. have long-acting antipsychotic properties useful in the treatment of warm-blooded animals. Thus, 3-(2-(4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl)ethyl)-6,7,8,9 tetrahydro-7-methoxy-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one was reacted with iodotrimethylsilane in acetonitril and refluxed overnight, evapd. and the residue purified to obtain 3-(2-(4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl)ethyl)-6,7,8,9 tetrahydro-9-hydroxy-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (I). The antipsychotic activity of I was studied in dogs. A capsule contained I 20, Na lauryl sulfate 6, starch 56, lactose 56, silicon dioxide 0.8, Mg stearate 1.2 parts.

L9 ANSWER 5 OF 9 MARPAT COPYRIGHT 1999 ACS  
 AN 116:41471 MARPAT  
 TI Preparation of 3-[(benzisoxazolylpiperidino)alkyl]-4H-pyrido[1,2-a]pyrimidin-4-ones as antipsychotics  
 IN Kennis, Ludo Edmond Josephine; Vandenberg, Jan; Van Heertum, Albertus Hendricus Maria Theresia  
 PA Janssen Pharmaceutica N. V., Belg.  
 SO Eur. Pat. Appl., 22 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 453042	A1	19911023	EP 1991-200897	19910416
	EP 453042	B1	19991103		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5482943	A	19960109	US 1991-676681	19910328
	CA 2040086	AA	19911020	CA 1991-2040086	19910409
	AU 9174366	A1	19911024	AU 1991-74366	19910411

AU 636969	B2	19930513		
JP 04234882		19920824	JP 1991-1096	19910416
IL 97892	A1	19950330	IL 1991-97892	19910417
FI 9101885	A	19911020	FI 1991-1885	19910418
FI 96206	B	19960215		
FI 96206	C	19960527		
NO 9101525	A	19911021	NO 1991-1525	19910418
NO 176840	B	19950227		
NO 176840	C	19950607		
RU 2037495	C1	19950619	RU 1991-4895183	19910418
CZ 281135	B6	19960612	CZ 1991-1099	19910418
PL 169740	B1	19960830	PL 1991-289935	19910418
PL 169744	B1	19960830	PL 1991-312561	19910418
SK 279005	B6	19980506	SK 1991-1099	19910418
CN 1055929	A	19911106	CN 1991-102516	19910419
CN 1027506	B	19950125		
HU 57763	A2	19911230	HU 1991-1301	19910419
ZA 9102913	A	19921230	ZA 1991-2913	19920418
PRAI GB 1990-8850		19900419		
AB	Title compds. [I; R = Q1 wherein R2 = H, alkyl; R5R6 = R1C:CHCH:CH, R3CH(CH2)3, C(:CHR4)(CH2)3; R1 = (hydroxy)alkyl, CHO, CO2H, alkanoyloxyalkyl; R3 = (hydroxy)alkyl, PhCH2, 3-pyridiylmethyl, 5-methyl-2-furanylmethyl; R4 = alkyl, pH, 3 pyridyl, 5-methyl-2-furanyl;			
Z	= alkylene] were prepd. Thus, I (R = H) was condensed with Q2Br to give			
I	(R = Q2) which had oral ED50 of 0.0063 mg/kg for antiemetic effect when administered 32 h before apomorphine challenge in dogs.			

L9 ANSWER 6 OF 9 MARPAT COPYRIGHT 1999 ACS  
 AN 114:102032 MARPAT  
 TI 2-Aminopyrimidinone derivatives as serotonin, histamine, and dopamine antagonists  
 IN Kennis, Ludo E. J.; Vandenberg, Jan; Boey, Jozef M.  
 PA Janssen Pharmaceutica N. V., Belg.  
 SO Eur. Pat. Appl., 38 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 378255	A2	19900718	EP 1990-200005	19900103
	EP 378255	A3	19910109		
	EP 378255	B1	19940427		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
	IL 92730	A1	19930610	IL 1989-92730	19891215
	AT 104971	E	19940515	AT 1990-200005	19900103
	ES 2055860	T3	19940901	ES 1990-200005	19900103
	CA 2007200	AA	19900709	CA 1990-2007200	19900105
	NO 9000071	A	19900710	NO 1990-71	19900108
	NO 173139	B	19930726		
	NO 173139	C	19931103		
	FI 9000085	A	19900710	FI 1990-85	19900108
	FI 94525	B	19950615		
	FI 94525	C	19950925		
	AU 9047779	A1	19900712	AU 1990-47779	19900108
	AU 617918	B2	19911205		
	HU 52770	A2	19900828	HU 1990-64	19900108
	HU 203747	B	19910930		
	ZA 9000123	A	19910925	ZA 1990-123	19900108
	RU 2028297	C1	19950209	RU 1990-4742788	19900108
	CN 1044094	A	19900725	CN 1990-100075	19900109
	CN 1034865	B	19970514		
	JP 02225482	A2	19900907	JP 1990-2415	19900109

JP 2938492 B2 19990823  
 US 5140029 19920818 US 1991-6438 19910118  
 US 5256659 A 19931026 US 1992-90146 19920619  
 US 5284854 A 19940208 US 1993-82225 19930624  
 PRAI GB 1989-382 19890109  
 US 1989-456319 19891226  
 EP 1990-200005 19900103  
 US 1991-643867 19910118  
 US 1992-901465 19920619  
 AB The title compds. [I; R1 = (R7-substituted) PhCO, Q1, Q2, Q3; R7 = H, alkyl, halo; B = O, S, NR8; R8 = H, alkyl, arylalkyl; R2, R3 = H, alkyl; R4 = H, (substituted) alkyl; R5 = R2, alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonyl, arylcarbonyl; R6 = R2, arylalkyl; R5R6 = (substituted) CH2CH2, CH2CH2CH2, CH:CH, CH:N, N:CH, N:CHCH2; X = C, CH, N; Y = alkylene] were prepd. Thus, 5-(2-chloroethyl)-3,6-dimethyl-2-methylamino-4(3H)pyrimidinone hydrochloride (prepn. given), 4-[bis(4-fluorophenyl)methylene]piperidine hydrobromide, Na2CO3, KI, and 4-methyl-2-pentanone were refluxed overnight to give 68.9% title compd. II. II at 0.04-0.63 mg/kg i.p. in rats increased the duration of deep slow-wave sleep (SWS2) episodes while reducing the no. of such episodes; II was 10 .times. more active than ritanserin in this screen.

L9 ANSWER 7 OF 9 MARPAT COPYRIGHT 1999 ACS  
 AN 113:191384 MARPAT  
 TI Preparation of 3-[(4-oxopyrido[1,2-a]pyrimidin-3-yl)piperidin-4-yl]1,2-benzisoxazoles as antipsychotics  
 IN Janssen, Cornelus Gerardus Maria; Knaeps, Alfonsus Guilielmus; Kennis, Ludo Edmond Josephine; Vandenberk, Jan  
 PA Janssen Pharmaceutica N. V., Belg.  
 SO Eur. Pat. Appl., 18 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 368388	A2	19900516	EP 1989-202724	19891030
	EP 368388	A3	19910717		
	EP 368388	B1	19950510		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2000786	AA	19900507	CA 1989-2000786	19891016
	CA 2000786	C	19990126		
	AT 122349	E	19950515	AT 1989-202724	19891030
	ES 2075036	T3	19951001	ES 1989-202724	19891030
	DK 8905519	A	19900508	DK 1989-5519	19891106
	DK 169923	B1	19950403		
	NO 8904411	A	19900508	NO 1989-4411	19891106
	NO 173015	B	19930705		
	NO 173015	C	19931013		
	AU 8944436	A1	19900510	AU 1989-44436	19891106
	AU 614437	B2	19910829		
	ZA 8908436	A	19910731	ZA 1989-8436	19891106
	FI 92201	B	19940630	FI 1989-5261	19891106
	FI 92201	C	19941010		
	JP 02191276	A2	19900727	JP 1989-289842	19891107
	JP 2758045	B2	19980525		
PRAI	US 1988-267857		19881107		
AB	Title compds. I (R1 = C1-4 alkyl, H, halo; R2 = C1-4 alkyl; R3 = HO, R4CO2, R4 = C1-19 alkyl; R5 = C1-4 alkanediyl) are prepd.				

3-(2-Chloroethyl)-6,7,8,9-tetrahydro-9-hydroxy-4H-pyrido[1,2-a]pyrimidin-4-one, 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole.HCl, Me2CHNHCHMe2 and MeOH were stirred overnight at 60.degree. to give I (R1 = 6-F; R2 = Me; R3

= 9-HO; R5 = Et) (II). Antipsychotic activity was demonstrated in the combined apomorphine, tryptamine and norepinephrine test in rats or the apomorphine test in dogs. The ED50's for II [apomorphine, tryptamine (convulsion, hyperemia), norepinephrine] were 0.25, 0.31, 0.002, 0.08, mg/kg, resp. Pharmaceutical formulations of I are presented.

L9 ANSWER 8 OF 9 MARPAT COPYRIGHT 1999 ACS  
 AN 113:120622 MARPAT  
 TI Serotonin antagonist-containing agents for preserving or restoring soundness of the skin  
 IN Cauwenbergh, Gerard Frans Maria Jan; De Brabander, Marc Joris  
 PA Janssen Pharmaceutica N. V., Belg.  
 SO Eur. Pat. Appl., 12 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 335442	A2	19891004	EP 1989-200713	19890321
	EP 335442	A3	19920812		
	EP 335442	B1	19960515		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 137964	E	19960615	AT 1989-200713	19890321
	ES 2087072	T3	19960716	ES 1989-200713	19890321
	DK 8901465	A	19890929	DK 1989-1465	19890322
	CA 1331140	A1	19940802	CA 1989-594415	19890322
	ZA 8902231	A	19901128	ZA 1989-2231	19890323
	JP 02009808	A2	19900112	JP 1989-72035	19890327
	JP 2747317	B2	19980506		
	IL 89749	A1	19930818	IL 1989-89749	19890327
	US 5612347	A	19970318	US 1994-342666	19941121
PRAI	US 1988-173858		19880328		
	US 1989-324262		19890315		
	US 1991-705684		19910524		

AB The title prepn. contain piperidine derivs. (serotonin antagonists) I [R = H or C1-6 alkyl; Alk = C1-4 alkylene; R1 = XAr; Ar = (un)substituted Ph;

X = CO, CHOH, etc.; R9=Q,Q1; Y1, Y2 = O or S; R2 = H, halo, C1-6 alkyl, etc.; R3 = H or halo; R4 = H or C1-6 alkyl; Z = S, CH2, CR5:CR6; R5, R6 = H, C1-6 alkyl; A = CH2CH2, CH2CH2CH2 or CR7:CR8; R7,R8 = H, halo, amino, C1-6 alkyl] as active ingredients. An ointment contained Ketanserin microfine 2, Pluronic L 35 90.5, and glycerol monostearate 7.5%. Six patients with stretch marks (striae induced by pregnancy or phys. exercise) were treated daily with the above ointment. After .gtoreq.1

mo,

the patients reported a significant decrease of the striae.

L9 ANSWER 9 OF 9 MARPAT COPYRIGHT 1999 ACS  
 AN 110:82503 MARPAT  
 TI Serotonin antagonists for treating wounds  
 IN Ooms, Leo Alfons Andre; Degryse, Anne Dominique Alice Yvette  
 PA Janssen Pharmaceutica N. V., Belg.  
 SO Eur. Pat. Appl., 8 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 268309	A2	19880525	EP 1987-201784	19870918
	EP 268309	A3	19900411		
	EP 268309	B1	19961211		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 4874766	A	19891017	US 1987-88444	19870824

AT 146077		19961215	AT 1987-201784	19870918
ES 2094718		19970201	ES 1987-201784	19870918
DK 8704951	A	19880323	DK 1987-4951	19870921
NO 8703934	A	19880323	NO 1987-3934	19870921
AU 8778690	A1	19880324	AU 1987-78690	19870921
AU 610082	B2	19910516		
JP 63146819	A2	19880618	JP 1987-235044	19870921
JP 06055682	B4	19940727		
ZA 8707084	A	19890426	ZA 1987-7084	19870921
IL 83956	A1	19920525	IL 1987-83956	19870921
CA 1302271	A1	19920602	CA 1987-547362	19870921

PRAI US 1986-909991 19860922

AB Wound-healing comps. are prep'd. using serotonin antagonists selected from

R2 piperidines I [R = H, alkyl; A = alkanediyl; Q = Q1, Q2; Y1, Y2 = O, S;

= H, halo, alkyl, alkoxy, CF3, NO2, cyano, OH, alkylcarbonyloxy, amino, mono- or dialkylamino, alkylcarbonylamino, azido, phenylmethoxy; R3 = H, halo; R4 = H, alkyl; Z = S, CH2, CR5:CR6; R5, R6 = H, alkyl; A1 = CH2CH2, CH2CH2CH2, CR7:CR8; R7, R8 = H, halo, amino, alkyl; R1 = (substituted) indol-3-yl, 1,2-benzisoxazol-3-yl, 1,2-benzisoxazo-3-yl, XAr; X = CO, CH(OH), CH(O2CR9), CH2, ketal, cyclic ketal, C(:NOH), C(:NNH2); R9 = H, alkyl; Ar = (substituted) Ph]. A soln. contained ketanserin tartrate 3.46, propylene glycol 99.0, hydroxypropyl methylcellulose 15.6, and H2O 881.9 mg. Gauze bandages were dipped into this soln. and used as a wound dressing on rats suffering from punch wounds 1 cm in diam. After 10

days,

15/20 wounds dressed with these bandages had healed, compared to 10/20 dressed with gauze dipped in the above soln. lacking ketanserin tartrate, and 5/20 dressed with dry gauze.